Small Molecules

PLX4032

MEK/ERK pathway inhibitor; Inhibits B-RAF

Catalog #	73332	10 mg
	73334	50 mg

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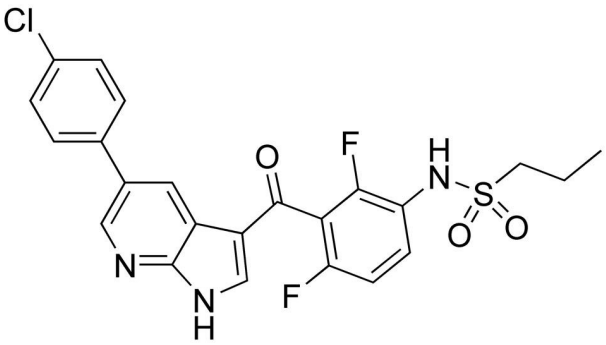
Product Description

PLX4032 is an ATP-competitive inhibitor of the serine/threonine kinase B-RAF proto-oncogene, with IC_{50} values of 31 and 100 nM for the wild type and V600E mutant forms, respectively (Khazak et al.; Sala et al.).

Molecular Name:	PLX4032
Alternative Names:	RG-7204; Ro 51-85426; Vemurafenib; Zelboraf®
CAS Number:	918504-65-1
Chemical Formula:	$C_{23}H_{18}ClF_2N_3O_3S$
Molecular Weight:	489.9 g/mol
Purity:	≥ 98%
Chemical Name:	N-[3-[[5-(4-chlorophenyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]carbonyl]-2,4-difluorophenyl]-1-propanesulfonamide
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 2 mM For example, to prepare a 1 mM stock solution in DMSO, resuspend 10 mg in 20.4 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Inhibits proliferation in colon, melanoma, and thyroid carcinoma cancer cell lines expressing B-RAF V600E, alone or in synergy with Paclitaxil, Vinblastine and Oxaliplatin (Khazak et al.).
· Suppresses MEK and ERK phosphorylation downstream of B-RAF in melanoma cells with mutations at the V600 position, correlated with antiproliferative effects (Joseph et al.; Yang et al.).
· Inhibits tumor growth in B-RAF V600E melanoma tumor xenograft models (Yang et al.).

References

Joseph EW et al. (2010) The RAF inhibitor PLX4032 inhibits ERK signaling and tumor cell proliferation in a V600E BRAF-selective manner. Proc Natl Acad Sci USA 107(33): 14903-8.
Khazak V et al. (2007) Selective Raf inhibition in cancer therapy. Expert Opin Ther Targets 11(12): 1587–609.
Sala E et al. (2008) BRAF silencing by short hairpin RNA or chemical blockade by PLX4032 leads to different responses in melanoma and thyroid carcinoma cells. Mol Cancer Res 6(5): 751–9.
Yang H et al. (2010) RG7204 (PLX4032), a selective BRAF V600E inhibitor, displays potent antitumor activity in preclinical melanoma models. Cancer Res 70(13): 5518-27.

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